=> s 12 L3 7 L2 => d abs bib hitstr 1-7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN L3 The present invention provides IRM conjugates that includes an IRM moiety and a second active moiety covalently linked to the IRM moiety in which the covalent link does not depend on UV irradiation The IRM is an imidazoquinoline amine, tetrahydroimidazoquinoline amine, imidazopyridine amine, 1,2-bridged imidazopyridine amine, 6,7-cycloalkylimidazopyridine amine, imidazonaphthyridine amine, tetrahydroimidazonaphthyridine amine, oxazoloquinoline amine, thiazoloquinoline amine, oxazolopyridine amine, thiazolopyridine amine, etc. These IRM compds. appear to act through TLRs to induce selected cytokine biosynthesis and/or co-stimulatory mols. and increase antigen-presenting capacity. The IRM conjugates are directed against e.g. tumor, viral infection, allergy, autoimmune disease ans as vaccine adjuvant. ΑN 2007:999273 CAPLUS DN 147:321284 Antibody or antigen conjugated with immune response modifier for ΤI therapeutic use Stoermer, Doris; Griesgraber, George W.; Mendoza, James D.; Bonk, Jason D. ΙN 3M Innovative Properties Company, USA PΑ SO PCT Int. Appl., 93 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE DATE APPLICATION NO. \_\_\_\_\_ \_\_\_\_ WO 2007100634 A2 20070907 WO 2007-US4673 WO 2007100634 A3 20071025 PΙ 20070221 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA EP 1988896 20081112 EP 2007-751438 Α2 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

RL: MOA (Modifier or additive use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

20060222

20070221

US 2008-280472

20080822

A1 20090205

P

W

US 20090035323

WO 2007-US4673

PRAI US 2006-775468P

948029-59-2P

RN 948029-59-2 CAPLUS

CN Propanamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-3-mercapto- (CA INDEX NAME)

IT 948029-58-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(antibody or antigen conjugated with immune response modifier for therapeutic use)

RN 948029-58-1 CAPLUS

CN Propanamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-3-[(triphenylmethyl)thio]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AΒ The invention provides immunomodulatory compns. include an immune response modifier moiety coupled to a targeting moiety. In another aspect, the invention provides methods of providing targeted delivery of an IRM for formula I, generating a localized immune response, and treating a condition in a subject. Generally, the methods include administering to the subject an immunomodulatory composition that includes an immune response modifier moiety coupled to a targeting moiety that recognizes a delivery target. Compds. of formula I wherein R1 is a linker group; R2 is H, alkyl, alkenyl, (un)substituted (hetero)aryl, etc.; R3 and R4 are independently H, halo, alkyl, alkenyl, O-alkyl, S-alkyl, etc.; are claimed. Example compound II was prepared by amidation of 6-(carbobenzyloxyamino)caproic acid with 1-(2-amino-2-methylpropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-4amine; the resulting benzyl 6-[[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5c]quinolin-1-y1]1,1-dimethylethyl]amino]-6-oxohexylcarbamate underwent hydrogenation followed by coupling with 3,3-dithiodipropionic acid to give the corresponding disulfide dimer which underwent cleavage to give compound II. All the invention compds. were tested for their ability to generate a localized immune response.

AN 2006:888349 CAPLUS

DN 145:293058

TI Imidazo[4,5-c]quinoline derivatives and their preparation, immunomodulatory compositions and methods for targeted delivery of immune response modifiers

IN Alkan, Sefik; Kieper, William C.; Vasilakos, John P.; Bonk, Jason D.; Griesgraber, George W.; Lipson, Kenneth E.; Liu, Jie J.; Mendoza, James D.; Stoermer, Doris; Wightman, Paul D.; Jing, Naiyong; Schultz, William J.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 83pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
ΡI		WO 2006091720 WO 2006091720				A2 20060831 A3 20070823			WO 2006-US6387						20060223			
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             BA, HR, MK, YU
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PRAI US 2005-655713P
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                                20050223
     US 2005-220235
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                                20050906
     US 2000-254229P
                          Ρ
                                20001208
     US 2001-13193
                          Α1
                                20011206
     WO 2006-US6387
                          W
                                20060223
     CASREACT 145:293058; MARPAT 145:293058
OS
     895522-08-4P 895522-09-5P
ΙT
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of imidazoquinoline derivs. and their
        immunomodulatory compns. and methods for targeted delivery of immune
        response modifiers useful in treatment of diseases)
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RN 895522-08-4 CAPLUS
CN Benzamide, N-[6-[[4-amino-2-(ethoxymethy1)-1-[2-methy1-2[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-4azido-2-hydroxy- (CA INDEX NAME)

RN 895522-09-5 CAPLUS
CN Benzamide, N-[6-[[4-amino-1-[4-[(methylsulfonyl)amino]butyl]-2-propyl-1Himidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-4-azido-2-hydroxy- (CA INDEX NAME)

IT 812631-90-6 850069-15-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of imidazoquinoline derivs. and their
immunomodulatory compns. and methods for targeted delivery of immune
response modifiers useful in treatment of diseases)

RN 812631-90-6 CAPLUS

CN Methanesulfonamide, N-[2-[4-amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 850069-15-7 CAPLUS

CN Methanesulfonamide, N-[4-[4-amino-7-[(6-aminohexyl)oxy]-2-propyl-1H-imidazo[4,5-c]quinolin-1-yl]butyl]- (CA INDEX NAME)

Me-S-NH-(CH<sub>2</sub>)<sub>4</sub>
0
$$n$$
-Pr
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 

L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN GI

The present invention provides immunomodulatory compns. include an immune response modifier (IRM) moiety coupled to a targeting moiety. In another aspect, the invention provides methods of providing targeted delivery of an IRM, generating a localized immune response, and treating a condition in a subject. Generally, the methods include administering to the subject an immunomodulatory composition that includes an immune response modifier moiety coupled to a targeting moiety that recognizes a delivery target. The IRM moiety has general formula I (wherein R1 is a linker group, R2 = H, alkyl, alkenyl, etc.; R3 and R4 = H, halo, alkyl, etc., or taken together are part of a fused ring). The preparation of the IRM compds. is exemplified. For example, II was prepared in 4 steps from an initial reaction of 6-(carbobenzyloxyamino)caproic acid and 1-(2-amino-2-methylpropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-4-amine. II was subsequently conjugated to human anti-CD20 antibody using

antibodies modified with heterobifunctional crosslinkers.

AN 2006:636837 CAPLUS

DN 145:103679

TI Preparation of small molecule immune response modifiers and conjugation to a targeting moiety

IN Alkan, Sefik; Kieper, William C.; Vasilakos, John P.; Bonk, Jason D.; Griesgraber, George W.; Lipson, Kenneth E.; Liu, Jie J.; Mendoza, James D.; Stoermer, Doris; Wightman, Paul D.; Jing, Naiyong; Schultz, William J.

PA 3M Innovative Properties Company, USA

SO U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S. Ser. No. 220,235. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

	DITE II		D 3 M D	3 DD1 T03 ET011 110	D 3 m D		
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	US 20060142202	A1	20060629	US 2006-360071	20060223		
	US 20020110840	A1	20020815	US 2001-13193	20011206		
	US 20060009482	A1	20060112	US 2005-220235	20050906		
PRAI	US 2000-254229P	P	20001208				
	US 2001-13193	B1	20011206				
	US 2005-220235	A2	20050906				
$\cap$ c	CACDEACT 1/5.103670.	MADDAG	r 1/5.102670				

OS CASREACT 145:103679; MARPAT 145:103679

IT 895522-08-4P 895522-09-5P,

 $\label{eq:n-formula} $$N-[6-[[4-Amino-1-[4-[(methylsulfonyl)amino]butyl]-2-propyl-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-4-azido-2-hydroxybenzamide$ 

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of small mol. immune response modifiers and coupling to a targeting moiety)

RN 895522-08-4 CAPLUS

CN Benzamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-4-azido-2-hydroxy- (CA INDEX NAME)

RN 895522-09-5 CAPLUS

CN Benzamide, N-[6-[4-amino-1-[4-[(methylsulfonyl)amino]butyl]-2-propyl-1H-

imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-4-azido-2-hydroxy- (CA INDEX NAME)

IT 895522-08-4DP, conjugates with antibodies 895522-09-5DP,

 $\label{eq:normalized} $$N-[6-[[4-Amino-1-[4-[(methylsulfonyl)amino]butyl]-2-propyl-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-4-azido-2-hydroxybenzamide, conjugates with antibodies$ 

RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of small mol. immune response modifiers and coupling to a targeting moiety)

RN 895522-08-4 CAPLUS

CN Benzamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-4azido-2-hydroxy- (CA INDEX NAME)

RN 895522-09-5 CAPLUS

CN Benzamide, N-[6-[[4-amino-1-[4-[(methylsulfonyl)amino]butyl]-2-propyl-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-4-azido-2-hydroxy- (CA INDEX NAME)

812631-90-6, N-[2-[4-Amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-10-(ethoxymethΙT 1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]methanesulfonamide 850069-15-7, N-[4-[4-Amino-7-[(6-aminohexyl)oxy]-2-propyl-1Himidazo[4,5-c]quinolin-1-yl]butyl]methanesulfonamide RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of small mol. immune response modifiers and coupling to a

targeting moiety)

812631-90-6 CAPLUS RN

Methanesulfonamide, N-[2-[4-amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-1]CN 1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

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RN 850069-15-7 CAPLUS

CN Methanesulfonamide, N-[4-[4-amino-7-[(6-aminohexyl)oxy]-2-propyl-1Himidazo[4,5-c]quinolin-1-yl]butyl]- (CA INDEX NAME)

L3 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN GI

- AB A soluble immune response modifier (IRM)-polymer complex, prepns., and methods of use, wherein the soluble IRM-polymer complex includes one or more IRM compds. attached (e.g., covalently attached) to a polymer (e.g., an alkylene oxide-containing polymer) are disclosed. For example, I as an IRM was prepared and conjugated with PEG derivs. such as methoxypolyethylene glycol succinimidylpropionate. An in-vitro human blood cell system was used to assess cytokine induction of the IRM conjugates.
- AN 2005:1242319 CAPLUS
- DN 144:6790
- TI Preparation of imidazoquinoline amine derivative conjugates with PEG derivatives for delivery of immune response modifiers
- IN Zarraga, Isidro Angelo E.; Stoesz, James D.; Ortiz, Ronnie
- PA 3M Innovative Properties Company, USA
- SO PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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     US 2004-617196P
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ΙT
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of imidazoquinoline amine derivative conjugates with PEG
```

derivs.

for delivery of immune response modifiers)

RN 812631-90-6 CAPLUS

CN Methanesulfonamide, N-[2-[4-amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

RN 869966-54-1 CAPLUS

CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[3-[[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]amino]-3-oxopropyl]- $\omega$ -methoxy- (9CI) (CA INDEX NAME)

23/07/2009

Page 12

PAGE 1-A

PAGE 1-B

IT 812631-90-6P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoquinoline amine derivative conjugates with PEG derivs.

for delivery of immune response modifiers)

RN 812631-90-6 CAPLUS

CN Methanesulfonamide, N-[2-[4-amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

IT 812631-99-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoquinoline amine derivative conjugates with PEG derivs.

for delivery of immune response modifiers)

RN 812631-99-5 CAPLUS

CN Carbamic acid, [6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN GI

$$NH_2$$
  $NH_2$   $NH_2$ 

AB The title imidazoquinolines with an alkoxy substituent at the 6-, 7-, 8- or 9-position [I; R = alkyl, alkoxy, OH, etc.; n = 0-1; R1, R2 = H, non-interfering substituents; R3 = ZYR4, ZHet, etc. (Z = alkylene, alkenylene, and alkynylene optionally interrupted with one or more O groups; Y = S, SO, SO2, (un)substituted SO2NH, etc.; R4 = H, alkyl, aryl, etc.; Het = (un)substituted heterocyclyl)], useful as immunomodulators, for inducing or inhibiting cytokine biosynthesis in animals and in the treatment of diseases including viral, and neoplastic (no specific biol. data given), were prepared E.g., a multi-step synthesis of II, was given. Pharmaceutical compns. containing the compds. I are disclosed.

AN 2005:316318 CAPLUS

DN 142:392406

TI Preparation of alkoxy substituted imidazoquinolines as immunomodulators

IN Lindstrom, Kyle J.; Merrill, Bryon A.; Haraldson, Chad A.; Rice, Michael J.; Kshirsagar, Tushar A.; Heppner, Philip D.; Wurst, Joshua R.; Niwas, Shri; Johannessen, Sarah C.

PA 3M Innovative Properties Co., USA

SO PCT Int. Appl., 386 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	FAN.CNT 1																		
	PATENT NO.			KIND DATE			•	APPL	ICAT										
ΡI		2005				A2	_	2005	0414		WO 2						0041		
	WO	2005	0324	84		Α3	A3 20050630												
	_	2005		-															
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	KΖ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
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			SN,	TD,	TG														
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	CA	2540	541			A1		2005	0414		CA 2	004-	2540	541		2	0041	001	
	EP 1673087				A2		2006	0628		EP 2	004-	7940	92						
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     850063-72-8P 850063-73-9P 850063-74-0P
     850063-75-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of alkoxy substituted imidazoquinolines as immunomodulators)
     850057-42-0 CAPLUS
RN
CN
     Methanesulfonamide, N-[6-[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-
     [(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-
     (CA INDEX NAME)
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RN 850057-43-1 CAPLUS
CN Acetamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl](CA INDEX NAME)

23/07/2009

RN 850057-44-2 CAPLUS

CN Methanesulfonamide, N-[6-[[4-amino-1-[4-[(methylsulfonyl)amino]butyl]-2-propyl-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]- (CA INDEX NAME)

RN 850057-45-3 CAPLUS

CN Acetamide, N-[6-[[4-amino-1-[4-[(methylsulfonyl)amino]buty1]-2-propyl-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]- (CA INDEX NAME)

RN 850057-46-4 CAPLUS

CN Acetamide, N-[2-[4-amino-2-(ethoxymethyl)-7-[[6-[(methylsulfonyl)amino]hexyl]oxy]-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

RN 850057-47-5 CAPLUS

CN Acetamide, N-[2-[7-[[6-(acetylamino)hexyl]oxy]-4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

RN 850063-72-8 CAPLUS

CN Acetamide, N-[2-[4-amino-2-(ethoxymethyl)-7-[[6-[[[(1-methylethyl)amino]thioxomethyl]amino]hexyl]oxy]-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

RN 850063-73-9 CAPLUS

CN Urea, N-[2-[4-amino-2-(ethoxymethyl)-7-[[6-[[(1-methylethyl)amino]carbonyl]amino]hexyl]oxy]-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]-N'-(1-methylethyl)- (CA INDEX NAME)

RN 850063-74-0 CAPLUS

CN Acetamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[[[(1-methylethyl)amino]carbonyl]amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]- (CA INDEX NAME)

RN 850063-75-1 CAPLUS

CN Methanesulfonamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[[[(1-methylethyl)amino]carbonyl]amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]- (CA INDEX NAME)

IT 812631-90-6P 850069-14-6P 850069-15-7P

850069-18-0P 850069-19-1P 850069-33-9P

850069-34-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of alkoxy substituted imidazoquinolines as immunomodulators)

RN 812631-90-6 CAPLUS

CN Methanesulfonamide, N-[2-[4-amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

RN 850069-14-6 CAPLUS

CN Carbamic acid, [6-[[4-amino-1-[4-[(methylsulfonyl)amino]butyl]-2-propyl-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 850069-15-7 CAPLUS

CN Methanesulfonamide, N-[4-[4-amino-7-[(6-aminohexyl)oxy]-2-propyl-1H-imidazo[4,5-c]quinolin-1-yl]butyl]- (CA INDEX NAME)

RN 850069-18-0 CAPLUS

CN Carbamic acid, [6-[[1-[2-(acetylamino)-2-methylpropyl]-4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 850069-19-1 CAPLUS

CN Acetamide, N-[2-[4-amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

RN 850069-33-9 CAPLUS

CN Carbamic acid, [6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[[[(1-methylethyl)amino]carbonyl]amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 850069-34-0 CAPLUS

CN Urea, N-[2-[4-amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]-N'-(1-methylethyl)- (CA INDEX NAME)

## RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

AB Pharmaceutical formulations in an aqueous (preferably, sprayable) formulation including an immune response modifier (IRM), such as those chosen from imidazoquinoline amines, tetrahydroimidazoquinoline amines, imidazopyridine amines, 6,7-fused cycloalkylimidazopyridine amines, 1,2-bridged imidazoquinoline amines, imidazonaphthyridine amines, imidazotetrahydronaphthyridine amines, oxazoloquinoline amines, thiazoloquinoline amines, oxazolopyridine amines, thiazolopyridine amines, oxazolonaphthyridine amines, thiazolonaphthyridine amines, and 1H-imidazo dimers fused to pyridine amines, quinoline amines, tetrahydroquinoline amines, naphthyridine amines, or tetrahydronaphthyridine amines, are provided. In one embodiment, the aqueous formulations are advantageous for treatment and/or prevention of allergic rhinitis, viral infections, sinusitis, and asthma. For example,

N-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl] methanesulfonamide (IRM 1) was prepared as a 0.375% aqueous solution

capable of being nasally administered via a spray pump. The solution contained IRM 1 0.375%, CM-cellulose sodium 0.1%, benzalkonium chloride 0.02%, disodium EDTA 0.1%, L-lactic acid 1.53%, PEG 400 15%, 1N NaOH as needed for pH 4.0, and water to 100%. The IRM 1 solution (50  $\mu\rm L)$  administered to rats once 4 h before infection with humanized, non-lethal influenza virus, almost completely suppressed the virus. titer.

AN 2005:160991 CAPLUS

DN 142:246181

TI Formulations containing an amine-based immune response modifier

IN Hammerbeck, David M.; Guy, Cynthia A.; Leung, Suzanne S.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 2005016275	A2	20050224	WO 2004-US25277	20040805
	WO 2005016275	A3	20050414		

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            WO 2004-US25277
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ΙT
            845638-56-4 845638-57-5
            RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
                    (solns. containing amine-based immunomodulators)
            845638-56-4 CAPLUS
RN
CN
            Methanesulfonamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-
            [(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-6-yl]oxy]hexyl]-
            (CA INDEX NAME)
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RN 845638-57-5 CAPLUS
CN Acetamide, N-[6-[[4-amino-2-(ethoxymethyl)-1-[2[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-6-yl]oxy]hexyl](CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN GI

AB The present invention provides immune response modifiers (IRMs) associated with (typically, attached to, and preferably, covalently attached to) macromol. support materials. The IRM compds. in such IRM-support complexes retain biol. activity. Such attachment of an IRM to a macromol. support material provides for the localized biol. activity of the IRM. The IRM I was prepared and IRMs were linked to such carriers as avidin beads, gold particles, silica nanoparticles, and polymers.

Ι

AN 2004:1126841 CAPLUS

DN 142:79920

TI Delivery of immune response modifier compounds

IN Wightman, Paul D.; Zarraga, Isidro Angelo E.; Jing, Naiyong; Liu, Jie J.

PA USA

SO U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 640,904. CODEN: USXXCO

DT Patent

LA English

FAN	CNT	6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PΙ	US 20040258698	A1	20041223	US 2004-821335	20040409		
	US 7427629	B2	20080923	US 2003-640904	20030814		
	US 20040091491	A1	20040513				
PRAI	US 2003-462140P	P	20030410				
	US 2003-640904	A2	20030814				
	US 2003-515256P	P	20031029				
	US 2004-545424P	P	20040218				
	US 2004-545542P	P	20040218				
	US 2002-403846P	P	20020815				
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IT 812631-90-6P 812631-99-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(delivery of immune response modifier compds.)

RN 812631-90-6 CAPLUS

CN Methanesulfonamide, N-[2-[4-amino-7-[(6-aminohexyl)oxy]-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]- (CA INDEX NAME)

RN 812631-99-5 CAPLUS

CN Carbamic acid, [6-[[4-amino-2-(ethoxymethyl)-1-[2-methyl-2-[(methylsulfonyl)amino]propyl]-1H-imidazo[4,5-c]quinolin-7-yl]oxy]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)